(12) INTERNATION

## PPLICATION PUBLISHED UNDER THE PATENT\_COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date 8 April 2004 (08.04.2004)

PCT

(10) International Publication Number WO 2004/028451 A3

- (51) International Patent Classification7: A61K 31/21, 31/27, 31/135, 47/00, C07C 69/00, 211/00, 215/00
- (21) International Application Number:

PCT/US2003/029818

(22) International Filing Date:

22 September 2003 (22.09.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/412,787

24 September 2002 (24.09.2002)

- (71) Applicant (for all designated States except US): VIR-GINIA COMMONWEALTH UNIVERSITY [US/US]: 800 E. Leigh Street, Suite 113, Richmond, VA 23298 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GLENNON, Richard, A. [US/US]; 3810 Reed's Landing Circle, Richmond, VA 23113 (US/HELLBERG, Mark, R. [US/US]; 3002 Oak Cove Road, Arlington, TX 76017 (US).
- (74) Agents: WHITHAM, Michael, E. et al.; Whitham, Curtis & Christofferson, P.C., 11491 Sunset Hills Road, Suite 340, Reston, VA 20190 (US).

- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD. SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 10 September 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: B-HYDROXYPHENYLALKYLAMINES AND THEIR USE FOR TREATING GLAUCOMA

(57) Abstract: β-hydroxyphenylalkylamines and their use for lowering and controlling ocular hypertension and treating glaucoma are disclosed.





A. CLASSIFICATION OF SUBJECT MATTER  IPC(7) : A61K 31/21, 31/27, 31/135, 47/00; C07C 69/00, 211/00, 215/00						
IPC(7) : A61K 31/21, 31/27, 31/135, 47/00; C07C 69/00, 211/00, 215/00 US CL : 514/478, 483, 489, 513, 649, 653, 913; 560/129; 564/336, 355						
According to International Patent Classification (IPC) or to both national classification and IPC						
	B. FIELDS SEARCHED					
Minimum documentation searched (classification system followed by classification symbols) U.S.: 514/478, 483, 489, 513, 649, 653, 913; 560/129; 564/336, 355						
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched						
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAS ONLINE						
C. DOCUMENTS CONSIDERED TO BE RELEVANT						
Category *	Citation of document, with indication, where			Relevant to claim No.		
Y	Database CAPLUS, AN 1982:472011, 'The synthespecific activity', Journal of Labelled Compounds 19, No. 12, pages 267-270, Abstract.			9-13		
Y	6 6,344,558 B1 (BUSCHMANN et al) 5 February 2002 (05.02.2002), column 2 lines					
Y	US 6,114,582 A (FURUKAWA et al) 5 September 2000 (05.09.2000), column 1 lines 1-13			1-13		
			·			
Further	documents are listed in the continuation of Box ${\sf C}$ .		See patent family annex.			
	pecial categories of cited documents:	-T"	later document published after the inte- date and not in conflict with the applic	ation but cited to understand the		
"A" document of particul	defining the general state of the art which is not considered to be ar relevance		principle or theory underlying the inve	ì		
"E" earlier app	olication or patent published on or after the international filing date	•X•	document of particular relevance; the considered novel or cannot be consider when the document is taken alone			
	which may throw doubts on priority claim(s) or which is cited to ne publication date of another citation or other special reason (as	"Y"	document of particular relevance; the considered to involve an inventive step combined with one or more other such	when the document is		
"O" document	referring to an oral disclosure, use, exhibition or other means		being obvious to a person skilled in the			
priority da		"&" 	document member of the same patent f	amily		
Date of the ac	tual completion of the international search	Date of m	Date of mailing of the international search report			
29 May 2004 (29.05.2004)		28 JUL 2004				
Name and mailing address of the ISA/US		Authorize	Authorized officer			
Mail Stop PCT, Attn: ISA/US Commissioner for Patents		Raymond Covington 7. Roberts for				
P.O. Box 1450 Alexandria, Virginia 22313-1450		Telephone No. (571) 272-1600				
Facsimile No. (703) 872-9306				i		

Form PCT/ISA/210 (second sheet) (July 1998)



Internation polication No.
PCT/US03/29818

A. CLASSIFICATION OF SUBJECT MATTER  INC(7) A61K 31/31 31/37 31/35 47/90 C07C 60/00 311/00 315/00						
IPC(7) : A61K 31/21, 31/27, 31/135, 47/00; C07C 69/00, 211/00, 215/00 US CL : 514/478, 483, 489, 513, 649, 653, 913; 560/129; 564/336, 355						
According to International Patent Classification (IPC) or to both national classification and IPC						
B. FIELDS SEARCHED						
Minimum documentation searched (classification system followed by classification symbols) U.S.: 514/478, 483, 489, 513, 649, 653, 913; 560/129; 564/336, 355						
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched						
Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAS ONLINE						
C. DOCUMENTS CONSIDERED TO BE RELEVANT						
Category * Citation of document, with indication, where a		nt to claim No.				
Y Database CAPLUS, AN 1982:472011, 'The synthespecific activity', Journal of Labelled Compounds 19, No. 12, pages 267-270, Abstract.		9-13				
Y US 6,344,558 B1 (BUSCHMANN et al) 5 Februar 2+.	US 6,344,558 B1 (BUSCHMANN et al) 5 February 2002 (05.02.2002), column 2 lines 1-13					
	US 6,114,582 A (FURUKAWA et al) 5 September 2000 (05.09.2000), column 1 lines 1-13					
	·					
Further documents are listed in the continuation of Box C.	See patent family annex.	!				
* Special categories of cited documents:	"T" later document published after the international fili date and not in conflict with the application but cite					
"A" document defining the general state of the art which is not considered to be of particular relevance	principle or theory underlying the invention	-				
"E" earlier application or patent published on or after the international filing date	"X" document of particular relevance; the claimed inve- considered novel or cannot be considered to involve when the document is taken alone					
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination					
"O" document referring to an oral disclosure, use, exhibition or other means	being obvious to a person skilled in the art					
"P" document published prior to the international filing date but later than the priority date claimed	"&" document member of the same patent family					
Date of the actual completion of the international search	Date of mailing of the international search report					
29 May 2004 (29.05.2004)	28 JUL 2004					
Name and mailing address of the ISA/US  Mail Stop PCT, Atm: ISA/US  Commissioner for Patents  P.O. Box 1450  Alexandria, Virginia 22313-1450  Foccional No. (703) 872, 9306	Authorized officer  Raymond Covington A. Roberts for  Telephone No. (571) 272-1600					

Form PCT/ISA/210 (second sheet) (July 1998)